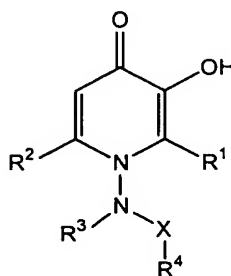


## CLAIMS:

What is claimed is:

1. An N-substituted 3-hydroxy-4-pyridinone compound of the formula (I):

5



(I)

or a pharmaceutically acceptable salt thereof, or prodrug thereof, wherein:

- 10 X is selected from the group: CH<sub>2</sub>, C(O), C(S), P(O)R<sup>3</sup>R<sup>4</sup>, SO<sub>2</sub>, C(=NH)NH, C(O)NH, and C(S)NH;
- R<sup>1</sup> and R<sup>2</sup> are independently selected from: H, C<sub>1</sub>-C<sub>10</sub> alkyl substituted with 0-5 R<sup>5</sup>, C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with 0-5 R<sup>5</sup>, aryl substituted with 0-3 R<sup>5</sup>, and heteroaryl substituted with 0-3 R<sup>5</sup>;
- 15 R<sup>3</sup> and R<sup>4</sup> are independently selected from: C<sub>1</sub>-C<sub>10</sub> alkyl substituted with 0-5 R<sup>5</sup>, C<sub>2</sub>-C<sub>10</sub> alkenyl substituted with 0-5 R<sup>5</sup>, aryl substituted with 0-3 R<sup>5</sup>, heteroaryl substituted with 0-3 R<sup>5</sup>, or R<sup>3</sup> and R<sup>4</sup> may be taken together to form a C<sub>5</sub>-C<sub>7</sub> cyclic alkyl group optionally interrupted with O or NR<sup>6</sup>;
- 20 R<sup>5</sup> is elected from: OH, C(=O)R<sup>6</sup>, C(=O)OR<sup>6</sup>, C(=O)NR<sup>6</sup>R<sup>7</sup>, PO(OR<sup>6</sup>)(OR<sup>7</sup>), S(O)<sub>2</sub>OR<sup>6</sup>;
- R<sup>6</sup> and R<sup>7</sup> are independently selected from: H, C<sub>1</sub>-C<sub>10</sub> alkyl, or aryl.
- 25

2. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is selected from the group: CH<sub>2</sub>, C(O), and SO<sub>2</sub>;

$R^1$  and  $R^2$  are independently selected from: H,  $C_1-C_3$  alkyl substituted with 0-2  $R^5$ , and  $C_2-C_3$  alkenyl substituted with 0-2  $R^5$ ;

5  $R^3$  and  $R^4$  are independently selected from:  $C_1-C_6$  alkyl substituted with 0-3  $R^5$ ,  $C_2-C_6$  alkenyl substituted with 0-3  $R^5$ , aryl substituted with 0-3  $R^5$ , heteroaryl substituted with 0-3  $R^5$ , or  $R^3$  and  $R^4$  may be taken together to form a  $C_5-C_7$  cyclic alkyl group optionally interrupted with O or  $NR^6$ ;

10  $R^5$  is elected from: OH,  $C(=O)OH$ , and  $C(=O)NR^6R^7$ ;

$R^6$  and  $R^7$  are independently selected from: H and  $C_1-C_6$  alkyl.

3. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

15 X is selected from the group  $CH_2$ ,  $C(O)$ , and  $SO_2$ ;

$R^1$  is H;

$R^2$  is methyl or ethyl group;

20  $R^3$  and  $R^4$  are independently selected from: aryl, heteroaryl, or  $R^3$  and  $R^4$  may be taken together form a 5-7 membered cyclic alkyl.

4. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is  $CH_2$ ;

$R^1$  is H;

25  $R^2$  is methyl;

$R^3$  and  $R^4$  are taken together form a 6-membered cyclic piperidine ring.

5. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

30 X is  $CH_2$ ;

$R^1$  is H;

$R^2$  is methyl;

$R^3$  and  $R^4$  are taken together form a 6-membered cyclic morphine ring.

6. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

5 X is  $\text{CH}_2$ ;

$R^1$  is H;

$R^2$  is ethyl;

$R^3$  and  $R^4$  are taken together form a 6-membered cyclic morphine ring.

10 7. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is  $\text{C}(\text{O})$ ;

$R^1$  is H;

$R^2$  is methyl;

15  $R^3$  is H;

$R^4$  is phenyl.

8. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is  $\text{C}(\text{O})$ ;

20  $R^1$  is H;

$R^2$  is ethyl;

$R^3$  is H;

$R^4$  is phenyl.

25 9. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is  $\text{C}(\text{O})$ ;

$R^1$  is H;

$R^2$  is methyl;

$R^3$  is H;

30  $R^4$  is 3-pyridine.

10. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is C(O);

R<sup>1</sup> is H;

5 R<sup>2</sup> is methyl;

R<sup>3</sup> is H;

R<sup>4</sup> is 4-pyridine.

11. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

10 X is C(O);

R<sup>1</sup> is H;

R<sup>2</sup> is ethyl;

R<sup>3</sup> is H;

R<sup>4</sup> is 2-thiophene.

15 12. The N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 wherein:

X is SO<sub>2</sub>;

R<sup>1</sup> is H;

R<sup>2</sup> is methyl;

20 R<sup>3</sup> is H;

R<sup>4</sup> is phenyl.

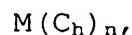
13. A method for the preparation of an N-substituted 3-hydroxy-4-pyridinone compound according to claim 1.

25 14. A pharmaceutical composition comprising a therapeutic effective amount of an N-substituted 3-hydroxy-4-pyridinone according to claim 1 for the treatment of iron overload.

30 15. A pharmaceutical composition comprising a therapeutic effective amount of an N-substituted 3-hydroxy-4-pyridinone compound according to claim 1 and a

therapeutic metal for the treatment of diseases, such as parasitic and viral infections, conditions associated with inflammation and infection, and conditions mediated by collagen formation.

5           16. A radiopharmaceutical of the formula:



and pharmaceutically acceptable salt thereof, wherein:

10           M is a radionuclide selected from:  $^{64}\text{Cu}$ ,  $^{67}\text{Cu}$ ,  $^{67}\text{Ga}$ ,  $^{68}\text{Ga}$ ,  $^{99\text{m}}\text{Tc}$ ,  $^{111}\text{In}$ ,  $^{90}\text{Y}$ ,  $^{149}\text{Pr}$ ,  $^{153}\text{Sm}$ ,  $^{159}\text{Gd}$ ,  $^{166}\text{Ho}$ ,  $^{169}\text{Yb}$ ,  $^{177}\text{Lu}$ ,  $^{186}\text{Re}$ , and  $^{188}\text{Re}$ ;

n is 2 or 3;

X is  $\text{CH}_2$ ;

$\text{R}^1$  is H;

$\text{R}^2$  is methyl;

15            $\text{R}^3$  and  $\text{R}^4$  are taken together form a 6-membered cyclic piperidine ring.

17. The radiopharmaceutical according to claim 16 wherein:

20           M is a radionuclide selected from:  $^{67}\text{Ga}$ ,  $^{68}\text{Ga}$ ,  $^{99\text{m}}\text{Tc}$ , and  $^{111}\text{In}$ ;

n is 3.

18. The radiopharmaceutical according to claim 16 wherein:

25           M is  $^{111}\text{In}$ ;

n is 3.

19. The radiopharmaceutical according to claim 16 wherein:

30           M is  $^{111}\text{In}$ ;

n is 3;

X is  $\text{CH}_2$ ;

$\text{R}^1$  is H;

$R^2$  is methyl;

$R^3$  and  $R^4$  are taken together form a 6-membered cyclic piperidine ring.

20. The radiopharmaceutical according to claim 16  
5 wherein:

M is  $^{111}\text{In}$ ;

n is 3;

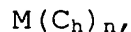
X is  $\text{CH}_2$ ;

$R^1$  is H;

10  $R^2$  is methyl;

$R^3$  and  $R^4$  are taken together form a 6-membered cyclic morphine ring.

21. An MRI contrast agent of the formula:



15 and pharmaceutically acceptable salt thereof, wherein:

M is a paramagnetic metal ion of atomic number 21-29, 42-44, or 58-70;

n is 2 or 3;

20  $\text{C}_h$  is an N-substituted 3-hydroxy-4-pyridinone according to claim 1.

22. The MRI contrast agent according to claim 21  
wherein:

M is selected from:  $\text{Fe}^{3+}$  and  $\text{Mn}^{2+}$  and  $\text{Gd}^{3+}$ ;

n is 2 or 3;

25  $\text{C}_h$  is an N-substituted 3-hydroxy-4-pyridinone according to claim 1.

23. The MRI contrast agent according to claim 21  
wherein:

M is  $\text{Fe}^{3+}$  and  $\text{Mn}^{2+}$ ;

30 n is 2 or 3;

C<sub>h</sub> is an N-substituted 3-hydroxy-4-pyridinone according to claim 1.

24. The MRI contrast agent according to claim 21 wherein:

5 M is Fe<sup>3+</sup>;

n is 3;

C<sub>h</sub> is an N-substituted 3-hydroxy-4-pyridinone according to claim 1.

25. A method of preparing a radiopharmaceutical of  
10 claim 16.

26. A method of preparing an MRI contrast agent of claim 21.

27. A pharmaceutical composition comprising a metal chelate of the formula:

15 
$$M(C_h)_n,$$

and pharmaceutically acceptable salt thereof, wherein:

M is a metal ion or a metal-containing core selected from: Ca<sup>2+</sup>, Sn<sup>2+</sup>, Cu<sup>2+</sup>, Zn<sup>2+</sup>, V<sup>3+</sup>, V<sup>5+</sup>(O), or V<sup>5+</sup>(O)-O-V<sup>5+</sup>(O);

20 n is 2 or 3;

C<sub>h</sub> is an N-substituted 3-hydroxy-4-pyridinone according to claim 1.

27. A method of treating of a disease such as viral infections, conditions associated with inflammation and  
25 infection, and conditions mediated by cell-proliferation or collagen formation, comprising administering a patient in need of such treatment a therapeutically effective amount of a pharmaceutical composition according to claim 26.